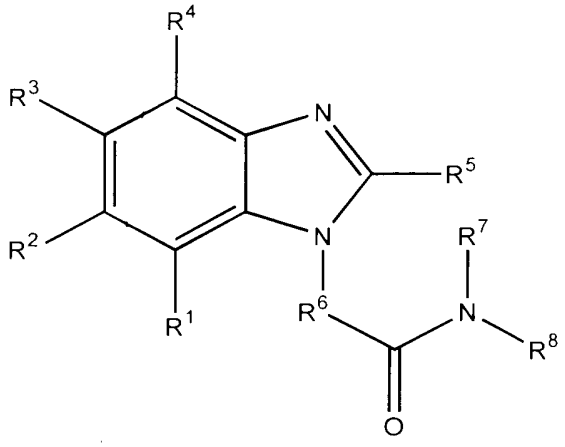
WE CLAIM:

1. A combinatorial library of two or more compounds of the formula:



wherein:

R^{2}, R^{3}, R^{4} and R^{5} are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C to C alkyl, C to C alkenyl, C to C alkynyl, C to C substituted alkyl, C to C substituted alkenyl, C to C substituted alkynyl, C to C alkoxy, C to C substituted alkoxy, C to C acyloxy, C to C acyl, C to C cycloalkyl, C to C substituted cycloalkyl, C to C cycloalkenyl, C to C substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C to C alkylene, substituted cyclic C to C alkylene, cyclic C

to C heteroalkylene, substituted cyclic C to C heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C to C alkylamino, C to C substituted alkylamino, carboxamide, protected carboxamide, C to C alkylthio, C to C substituted alkylthio, C to C alkylsulfonyl, C to C substituted alkylsulfonyl, C to C alkylsulfoxide, C to C substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{'}R^{''}$, (ii) the formula $-C(O)R^{'}$, (iii) the formula $-NR^{'}R^{''}$, (iv) the formula $-SR^{'}$, (v) the formula $-OR^{'}$ and (vi) the formula $-C(O)OR^{'}$, wherein $R^{'}$ and $R^{''}$ are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, C to C alkenyl, C to C substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C to C alkylsulfonyl, C to C substituted alkylsulfonyl, C to C alkylaminocarbonyl, C to C substituted alkylaminocarbonyl, phenylaminocarbonyl, and substituted phenylaminocarbonyl;

R is selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, phenyl, substituted phenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, carboxy, protected

carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C_1 to C_6 acyl, C_1 to C_6 substituted acyl, C_1 to C_6 alkoxycarbonyl, C_1 to C_6 substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_3 to C_7 cycloalkenyl and C_3 to C_7 substituted cycloalkenyl;

R^1 is the formula:

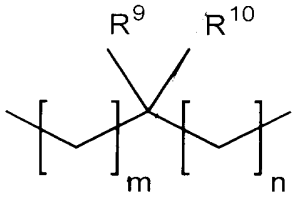
-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C_3 to C_7 cycloalkylene, C_3 to C_7 substituted cycloalkylene, C_3 to C_7 cycloalkenylene, C_3 to C_7 substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C_1 to C_{12} alkylene, C_2 to C_{12} alkenylene, C_2 to C_{12} alkynylene, C_1 to C_{12} substituted alkylene, C_2 to C_{12} substituted alkenylene, C_2 to C_{12} substituted alkynylene, C_3 to C_7 cycloalkylene, C_3 to C_7 substituted cycloalkylene, C_3 to C_7 cycloalkenylene, C_3 to C_7 substituted cycloalkenylene, C_7 to C_{12} phenylalkylene, C_7 to C_{12} substituted

phenylalkylene, C to C heterocycloalkylene and C to C substituted heterocycloalkylene, -NH- and the formula:



wherein R' and R'' are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C alkenyl, C to C alkynyl, C to C substituted alkyl, C to C substituted alkenyl, C to C substituted alkynyl, C to C acyl, C to C substituted acyl, C to C cycloalkyl, C to C substituted cycloalkyl, C to C cycloalkenyl, C to C substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, C to C phenylalkoxy, C to C substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C to C alkylene, substituted cyclic C to C alkylene, cyclic C to C heteroalkylene, substituted cyclic C to C heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R and R' are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C to C alkyl, C to C substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C to C cycloalkyl, C to C substituted cycloalkyl, C to C cycloalkenyl, C to C substituted cycloalkenyl, C to C alkenyl, C to C substituted alkenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl and C to C substituted heterocycloalkyl, C to C acyl, C to C substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C to C alkylsulfonyl, C to C substituted alkylsulfonyl, C to C alkylaminocarbonyl, C to C substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C to C alkylaminothiocarbonyl, C to C substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R' is methylene, at least one of R to R must be the formula -C(O)NR R ; or

provided that, where R' is methylene, at least one of R to R must be the formula -C(O)R , wherein R is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

2. The combinatorial library of claim 1, wherein:

R^1, R^2, R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^5R^6$ and (ii) the formula $-C(O)R^7$, wherein R^5 and R^6 are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_2 to C_6 alkenyl, C_2 to C_6 substituted alkenyl, C_7 to C_{12} phenylalkyl, C_7 to C_{12} substituted phenylalkyl, C_3 to C_8 heterocycloalkyl, C_3 to C_8 substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

3. The combinatorial library of claim 1, wherein:

R^1, R^2, and R^3 are each a hydrogen atom and R^4 is selected from the group consisting of halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^5R^6$ and (ii) the formula $-C(O)R^7$, wherein R^5 and R^6 are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{12} phenylalkyl, C_7 to C_{12} substituted phenylalkyl, C_3 to C_8 heterocycloalkyl, C_3 to C_8 substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

4. The combinatorial library of claim 1, wherein:

R^5 is selected from the group consisting of a hydrogen atom, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, phenyl, substituted phenyl, C_7 to C_{12} phenylalkyl, C_7 to C_{12}

substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heterocycle, substituted heterocycle, C to C cycloalkyl and C to C substituted cycloalkyl.

5. The combinatorial library of claim 1, wherein:

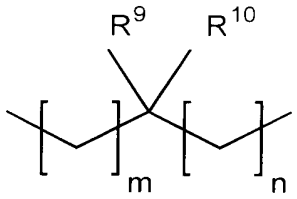
R' is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C to C cycloalkylene and C to C substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C_1 to C_{12} alkylene, C to C substituted alkylene, -NH- and the formula:



wherein:

R' and R are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, C to C cycloalkyl, C to C

substituted cycloalkyl, C to C phenylalkyl, C to C substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2.

6. The combinatorial library of claim 1, wherein:

R and R are, independently, selected from a functionalized resin and a hydrogen atom.

7. The combinatorial library of claim 1, wherein:

R, R, R and R are, independently, selected from the group consisting of a hydrogen atom, halo, C to C alkyl, C to C substituted alkyl, carboxy, and the group consisting of (i) the formula -C(O)NR R and (ii) the formula -C(O)R, wherein R and R are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, C to C alkenyl, C to C substituted alkenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R is selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, phenyl, substituted phenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heterocycle, substituted heterocycle, C to C cycloalkyl and C to C substituted cycloalkyl;

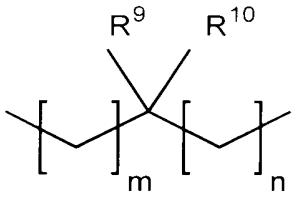
R^1 is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C_3 to C_7 cycloalkylene and C_3 to C_7 substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C_1 to C_{10} alkylene, C_1 to C_{10} substituted alkylene, -NH- and the formula:



wherein:

R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{10} alkyl, C_1 to C_{10} substituted alkyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_7 to C_{16} phenylalkyl, C_7 to C_{16} substituted phenylalkyl, phenyl, substituted phenyl; and m and n are, independently, 0, 1 or 2; and

R^2 and R^3 are, independently, selected from a functionalized resin and a hydrogen atom.

8. The combinatorial library of claim 1, wherein R^6 is methylene, R^1, R^2 and R^4 are each a hydrogen atom and R^5 is the formula $-C(O)NR^{11}R^{12}$.

9. The combinatorial library of claim 1, wherein R^6 is methylene, R^1, R^2 and R^4 are each a hydrogen atom and R^5 is the formula $-C(O)R^{13}$, wherein R^{13} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

10. The combinatorial library of claim 1, wherein R^6 is not methylene.

11. The combinatorial library of claim 1, wherein:

R^1, R^2 and R^4 are each a hydrogen atom and R^5 is the formula $-C(O)NR^{11}R^{12}$, wherein R^{11} is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R^{12} is selected from the group consisting of a hydrogen atom, benzyl, 4-methoxyphenyl, 4-phenoxyphenyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, (2-(pyridin-2-yl)ethyl, methyl, 3,3,5-trimethylcyclohexyl, cyclohexyl, 3-(trifluoromethyl)benzyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, ethoxycarbonylmethyl, cyclooctyl, cyclopropyl, (N,N-diethylamino)ethyl, 3-(2-oxo-1-pyrrolidino)propyl, (1-ethyl-2-pyrrolidinyl)methyl, pyridin-4-ylmethyl, 3-(4-morpholino)propyl, 4-methylphenyl, butyl and 2-thiazolyl;

R is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl;

R' is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, -CH CH NH- and 1,4-(cyclohexylene)-NH-;

and

R and R' are each a hydrogen atom.

12. The combinatorial library of claim 1, wherein:

R^1, R^2 and R^3 are each a hydrogen atom and R^4 is the formula $-C(O)R^5$, wherein R^5 is selected from the group consisting of
1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, morpholino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-ethoxycarbonylpiperidino and N-methylhomopiperazino;

R^5 is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl;

R^4 is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene,

benzylmethylene, cyclohexylethylidene,
4-chlorobenzylmethylene,
indol-3-ylethylidene, 4-trifluoroacetamidopentylidene,
3-guanidobutylidene, -CH CH NH- and
1,4-(cyclohexylene)-NH-; and

R and R' are each a hydrogen atom.

13. The combinatorial library of claim 1, wherein:

R, R and R' are each a hydrogen atom and R' is the formula -C(O)NR R , wherein R is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidinb)methyl, pyridin-2-ymethyl, 2-methyl-5-chlorophenyl, 2-(pyridin-2-yl)ethyl, 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxylcarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxylcarbonyl)methyl and cyclohexyl;

R is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl,

2-quinolyl, 2-chloro-3,4-dimethoxylphenyl,
5-methyl-2-furyl, 4-chloro-3-fluorophenyl,
2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl,
5-nitro-2-furyl, 4-bromophenyl, cyclopropyl,
2-norbornen-5-yl, 6-nitropiperonyl,
2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl,
3-hydroxyphenyl, 3,4-difluorophenyl,
4-dimethylaminophenyl, 4-methylthiophenyl,
4-(trifluoromethyl)phenyl, 2-thienyl,
2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl,
4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl,
1-napthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl,
2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R'' is selected from the group consisting of methylene,
ethylidene, ethylene, propylene, pentylene,
isopentylidene, 3-aminocarbonylbutylidene,
2-methylthiopropylidene, isobutylidene, phenylmethylene,
benzylmethylene, cyclohexylethylidene,
4-chlorobenzylmethylene,
indol-3-ylethylidene, 4-trifluoroacetamidopentylidene,
3-guanidobutylidene, hydroxyethylidene,
2-aminocarbonylpropylidene, isopentylidene,
mercaptoethylidene, 4-hydroxybenzylmethylene,
1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-,
3,6-dioxaoctylene-NH-, -CH CH NH- and
1,4-(cyclohexylene)-NH-;

and

R and R' are each a hydrogen atom.

14. The combinatorial library of claim 1, wherein:

R^1, R^2 and R^3 are each a hydrogen atom and R^4 is the formula $-C(O)R^5$, wherein R^5 is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, piperazino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-(ethoxycarbonyl)piperidino, N-methylhomopiperazino and N,N'-diisopropylimidamino;

R^6 is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxylphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-napthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R^{1} is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, -CH CH NH- and 1,4-(cyclohexylene)-NH-;

and

R^{2} and R^{3} are each a hydrogen atom.

15. The combinatorial library of claim 1, wherein

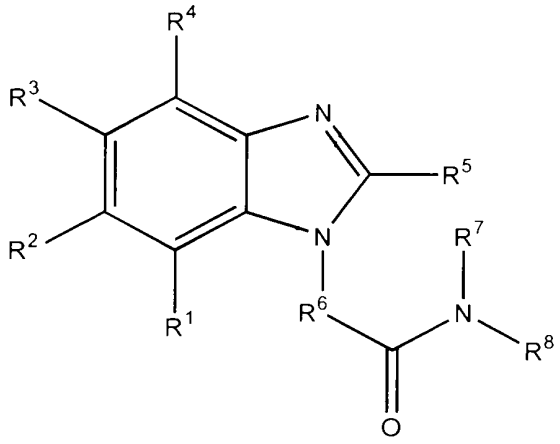
R^{3}, R^{4}, R^{5}, R^{7} and R^{8} are each a hydrogen atom;

R^{4} is the formula $-C(O)NR^{9}R^{10}$, wherein R^{9} is a hydrogen atom and R^{10} is selected from the group consisting of pyridin-2-ylmethyl and 3,3,5-trimethylcyclohexyl;

R^{6} is selected from the group consisiting of 4-N,N-dimethylaminophenyl, 5-chloro-2-nitrophenyl, 4-bromo-2-thienyl, 2-butyl, 5-nitro-2-furyl, 4-bromophenyl, 2-thienyl, 3-thienyl, 3-cyanophenyl, 4-cyanophenyl, 4-quinolyl and 4-hydroxyphenyl; and

R^{2} is methylene.

16. A single compound of the formula:



wherein:

R^1, R^2, R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C_1 to C_6 alkyl, C_2 to C_6 alkenyl, C_2 to C_6 alkynyl, C_1 to C_6 substituted alkyl, C_2 to C_6 substituted alkenyl, C_2 to C_6 substituted alkynyl, C_1 to C_6 alkoxy, C_1 to C_6 substituted alkoxy, C_1 to C_6 acyloxy, C_1 to C_6 acyl, C_3 to C_8 cycloalkyl, C_3 to C_8 substituted cycloalkyl, C_3 to C_8 cycloalkenyl, C_3 to C_8 substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C_1 to C_6 phenylalkyl, C_1 to C_6 substituted phenylalkyl, C_3 to C_8 heterocycloalkyl, C_3 to C_8 substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_1 to C_6 alkylene, substituted cyclic C_1 to C_6 alkylene, cyclic C_2

to C heteroalkylene, substituted cyclic C to C heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C to C alkylamino, C to C substituted alkylamino, carboxamide, protected carboxamide, C to C alkylthio, C to C substituted alkylthio, C to C alkylsulfonyl, C to C substituted alkylsulfonyl, C to C alkylsulfoxide, C to C substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{}R^{}$, (ii) the formula $-C(O)R^{}$, (iii) the formula $-NR^{}R^{}$, (iv) the formula $-SR^{}$, (v) the formula $-OR^{}$ and (vi) the formula $-C(O)OR^{}$, wherein $R^{}$ and $R^{}$ are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, C to C alkenyl, C to C substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C to C alkylsulfonyl, C to C substituted alkylsulfonyl, C to C alkylaminocarbonyl, C to C substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

$R^{}$ is selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, phenyl, substituted phenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, carboxy, protected

carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C to C acyl, C to C substituted acyl, C to C alkoxycarbonyl, C to C substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C to C cycloalkyl, C to C substituted cycloalkyl, C to C cycloalkenyl and C to C substituted cycloalkenyl;

R' is the formula:

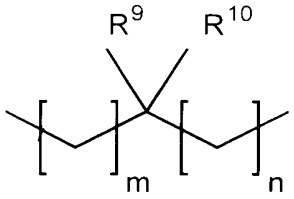
-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C to C cycloalkylene, C to C substituted cycloalkylene, C to C cycloalkenylene, C to C substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C to C alkylene, C to C alkenylene, C to C alkynylene, C to C substituted alkylene, C to C substituted alkenylene, C to C substituted alkynylene, C to C cycloalkylene, C to C substituted cycloalkylene, C to C cycloalkenylene, C to C substituted cycloalkenylene, C to C phenylalkylene, C to C substituted

phenylalkylene, C to C heterocycloalkylene and C to C substituted heterocycloalkylene, -NH- and the formula:



wherein R' and R'' are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C alkenyl, C to C alkynyl, C to C substituted alkyl, C to C substituted alkenyl, C to C substituted alkynyl, C to C acyl, C to C substituted acyl, C to C cycloalkyl, C to C substituted cycloalkyl, C to C cycloalkenyl, C to C substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, C to C phenylalkoxy, C to C substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C to C alkylene, substituted cyclic C to C alkylene, cyclic C to C heteroalkylene, substituted cyclic C to C heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R^{4} and R^{5} are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C_1 to C_8 alkyl, C_1 to C_8 substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_3 to C_7 cycloalkenyl, C_3 to C_7 substituted cycloalkenyl, C_2 to C_8 alkenyl, C_2 to C_8 substituted alkenyl, C_7 to C_{12} phenylalkyl, C_7 to C_{12} substituted phenylalkyl, C_3 to C_7 heterocycloalkyl and C_3 to C_7 substituted heterocycloalkyl, C_1 to C_{12} acyl, C_1 to C_7 substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_8 alkylsulfonyl, C_1 to C_8 substituted alkylsulfonyl, C_1 to C_8 alkylaminocarbonyl, C_1 to C_8 substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C_1 to C_8 alkylaminothiocarbonyl, C_1 to C_8 substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R^{1} is methylene, at least one of R^{2} to R^{5} must be the formula $-C(O)NR^{6}R^{7}$; or

provided that, where R^{1} is methylene, at least one of R^{2} to R^{5} must be the formula $-C(O)R^{8}$, wherein R^{8} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

17. The single compound of claim 16, wherein:

R^1, R^2, R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{10}R^{11}$ and (ii) the formula $-C(O)R^{12}$, wherein R^{10} and R^{11} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_3 to C_{12} heterocycloalkyl, C_3 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

18. The single compound of claim 16, wherein:

R^1, R^2, and R^4 are each a hydrogen atom and R^3 is selected from the group consisting of halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{10}R^{11}$ and (ii) the formula $-C(O)R^{12}$, wherein R^{10} and R^{11} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_3 to C_{12} heterocycloalkyl, C_3 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

19. The single compound of claim 16, wherein:

R^3 is selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18}

substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heterocycle, substituted heterocycle, C to C cycloalkyl and C to C substituted cycloalkyl.

20. The single compound of claim 16, wherein:

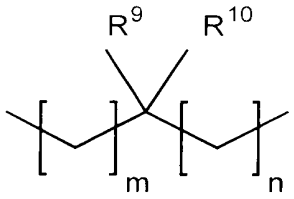
R' is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C to C cycloalkylene and C to C substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C to C alkylene, C to C substituted alkylene, -NH- and the formula:



wherein:

R' and R are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted

alkyl, C to C cycloalkyl, C to C substituted cycloalkyl, C to C phenylalkyl, C to C substituted phenylalkyl, phenyl, substituted phenyl; and m and n are, independently, 0, 1 or 2.

21. The single compound of claim 16, wherein:

R and R are each a hydrogen atom.

22. The single compound of claim 16, wherein:

R, R, R and R are, independently, selected from the group consisting of a hydrogen atom, halo, C to C alkyl, C to C substituted alkyl, carboxy, and the group consisting of (i) the formula -C(O)NR R and (ii) the formula -C(O)R, wherein R and R are, independently, selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, C to C alkenyl, C to C substituted alkenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R is selected from the group consisting of a hydrogen atom, C to C alkyl, C to C substituted alkyl, phenyl, substituted phenyl, C to C phenylalkyl, C to C substituted phenylalkyl, C to C heterocycloalkyl, C to C substituted heterocycloalkyl, heterocycle, substituted heterocycle, C to C cycloalkyl and C to C substituted cycloalkyl;

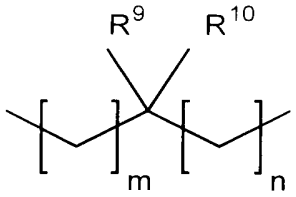
R^1 is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C_3 to C_6 cycloalkylene and C_3 to C_6 substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C_1 to C_{10} alkylene, C_1 to C_{10} substituted alkylene, -NH- and the formula:



wherein:

R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{10} alkyl, C_1 to C_{10} substituted alkyl, C_3 to C_6 cycloalkyl, C_3 to C_6 substituted cycloalkyl, C_7 to C_{16} phenylalkyl, C_7 to C_{16} substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2; and

R^2 and R^3 are each a hydrogen atom.

23. The single compound of claim 16, wherein R^1 is methylene, R^2, R^3 and R^4 are each a hydrogen atom and R^5 is the formula $-C(O)NR^6R^7$.

24. The single compound of claim 16, wherein R^1 is methylene, R^2, R^3 and R^4 are each a hydrogen atom and R^5 is the formula $-C(O)R^8$, wherein R^8 is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

25. The single compound of claim 16, wherein R^1 is not methylene.

26. The single compound of claim 16, wherein:

R^2, R^3 and R^4 are each a hydrogen atom and R^5 is the formula $-C(O)NR^6R^7$, wherein wherein R^6 is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R^7 is selected from the group consisting of a hydrogen atom, benzyl, 4-methoxyphenyl, 4-phenoxyphenyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-(pyridin-2-yl)ethyl, methyl, 3,3,5-trimethylcyclohexyl, cyclohexyl, 3-(trifluoromethyl)benzyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, ethoxycarbonylmethyl, cyclooctyl, cyclopropyl, (N,N-diethylamino)ethyl, 3-(2-oxo-1-pyrrolidino)propyl, (1-ethyl-2-pyrrolidinyl)methyl, pyridin-4-ylmethyl, 3-(4-morpholino)propyl, 4-methylphenyl, butyl and 2-thiazolyl;

R is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl;

R' is selected from the group consisting of methylmethylene, ethylene, propylene, pentylene, isobutylmethylene, 3-aminocarbonylpropylmethylene, 2-methylthioethylmethylene, isopropylmethylene, phenylmethylene, benzylmethylene, cyclohexylmethylmethylene, 4-chlorobenzylmethylene, indol-3-ylmethylmethylene, 4-trifluoroacetamidobutylmethylene, 3-guanidopropylmethylene, -CH CH NH- and 1-cyclohexylene-4-NH-; and

R and R' are each a hydrogen atom.

27. The single compound of claim 10, wherein:

R^1, R^3 and R^4 are each a hydrogen atom and R^2 is the formula $-C(O)R^5$, wherein R^5 is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, morpholino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-ethoxycarbonylpiperidino and N-methylhomopiperazino;

R is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl;

R^2 is selected from the group consisting of methylmethylene, ethylene, propylene, pentylene, isobutylmethylene, 3-aminocarbonylpropylmethylene,

2-methylthioethylmethylene, isopropylmethylene, phenylmethylene, benzylmethylene, cyclohexylmethylmethylene, 4-chlorobenzylmethylene, indol-3-ylmethylmethylene, 4-trifluoroacetamidobutylmethylene, 3-guanidopropylmethylene, -CH CH NH- and 1-cyclohexylene-4-NH-; and

R and R' are each a hydrogen atom.

28. The single compound of claim 16, wherein:

R, R and R' are each a hydrogen atom and R' is the formula -C(O)NR''R''', wherein R'' is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R''' is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ymethyl, 2-methyl-5-chlorophenyl, (2-(pyridin-2-yl)ethyl), 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxylcarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxylcarbonyl)methyl and cyclohexyl;

R is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl,

3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxylphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-napthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

$R^{''}$ is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, -CH CH NH- and 1,4-(cyclohexylene)-NH-;

and

R and $R^{'}$ are each a hydrogen atom.

29. The single compound of claim 16, wherein:

R^1, R^2 and R^3 are each a hydrogen atom and R^4 is the formula $-C(O)R^5$, wherein R^5 is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, piperazino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-(ethoxycarbonyl)piperidino, N-methylhomopiperazino and N,N'-diisopropylimidamino;

R is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxylphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-napthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R^{1} is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, $-CH_2CH_2NH-$ and 1,4-(cyclohexylene)-NH-;

and

R^{2} and R^{3} are each a hydrogen atom.

30. The single compound of claim 16, wherein

R^{2}, R^{3}, R^{4}, R^{7} and R^{8} are each a hydrogen atom;

R^{5} is the formula $-C(O)NR^{11}R^{12}$, wherein R^{11} is a hydrogen atom and R^{12} is selected from the group consisting of pyridin-2-ylmethyl and 3,3,5-trimethylcyclohexyl;

R^{6} is selected from the group consisiting of 4-N,N-dimethylaminophenyl, 5-chloro-2-nitrophenyl, 4-bromo-2-thienyl, 2-butyl, 5-nitro-2-furyl, 4-bromophenyl, 2-thienyl, 3-thienyl, 3-cyanophenyl, 4-cyanophenyl, 4-quinolyl and 4-hydroxyphenyl; and

R^{9} is methylene.

31. A method of preparing a benzimidazole derivative compound, comprising:

(a) coupling a first compound having a substituent of the formula -NH-C(O)-variable group-NH with a benzene compound that is substituted with a nitro group and a halo group in an ortho relationship on the benzene ring, the benzene compound optionally substituted with a variable group at one or more of the remaining 4 positions of the benzene ring, resulting in a benzene compound substituted with a nitro group and a monosubstituted amino group in an ortho relationship on the benzene ring;

(b) reducing the nitro group of the benzene compound resulting from step (a); and

(c) coupling the compound resulting from step (b) with an aldehyde compound, resulting in a benzimidazole derivative compound.

32. The method of claim 31, wherein said first compound is attached to solid support.

33. The method of claim 31, wherein said variable group on said benzene compound in step (a) is a carboxyl.

34. The method of claim 33, wherein said carboxyl group is coupled with a monosubstituted amine compound, a disubstituted amine compound, a cyclic imino compound or an alcohol compound.